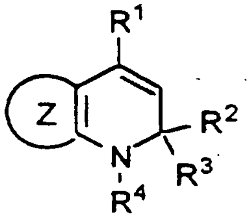
21. A method of affecting steroid receptor activity comprising the *in vivo* administration of a compound according to claim 1.

22. A method of affecting steroid receptor activity comprising the *in vivo* administration of a composition according to claim 15.

23. A method of modulating a process mediated by steroid receptors comprising administering to a patient an effective amount of a compound according to claim 1.

24. A method of modulating a process mediated by steroid receptors comprising administering to a patient an effective amount of a composition according to claim 15.

25. A pharmaceutical composition comprising an effective amount of a steroid receptor modulator compound of the formula:



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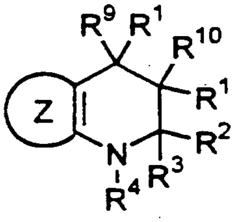

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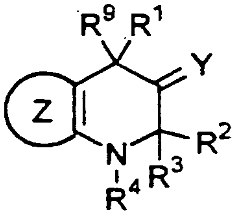

wherein:

R^1 through R^3 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl;

R^4 is hydrogen, a C_1 - C_6 alkyl, or $R^5C{=}O$, OR^6, or NR^6R^7, where R^5 is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl, and wherein R^6 and R^7 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl, or heteroaryl;

R^9 through R^{10} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl;

R^{11} is hydrogen, a C_1 - C_6 alkyl, OR^6 or optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl, where R^6 has the same definition given above, or R^1 and R^2, R^2 and R^3, R^1 and R^9, R^{10} and R^{11}, R^1 and R^{10} and/or R^{11} and R^2 when taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, OR^6 or NR^6R^7, where R^6 through R^7 have the definitions given above, provided, however, that R^1, R^2, R^{10} and R^{11} cannot form more than two three- to seven-membered rings at a time;

Y is O, CHR^6 or NR^6, where R^6 has the same definition given above; and

Z is an aryl or heteroaryl group, including mono- and poly-cyclic structures, optionally substituted at one or more positions with hydrogen, a C_1 -C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, heteroaryl, F, Cl, Br, I, CN, $R^5C=O$, $R^6R^7NC=O$, $R^6OC=O$, perfluoroalkyl, haloalkyl, a C_1 - C_6 straight-chain hydroxy alkyl, $HOCR^5R^8$, nitro, R^6OCH_2, R^6O, NH_2, or R^6R^7N, where R^5 through R^7 have the definitions given above and where R^8 is hydrogen, a C_1 - C_6 alkyl or optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl; and

a pharmaceutically acceptable carrier.

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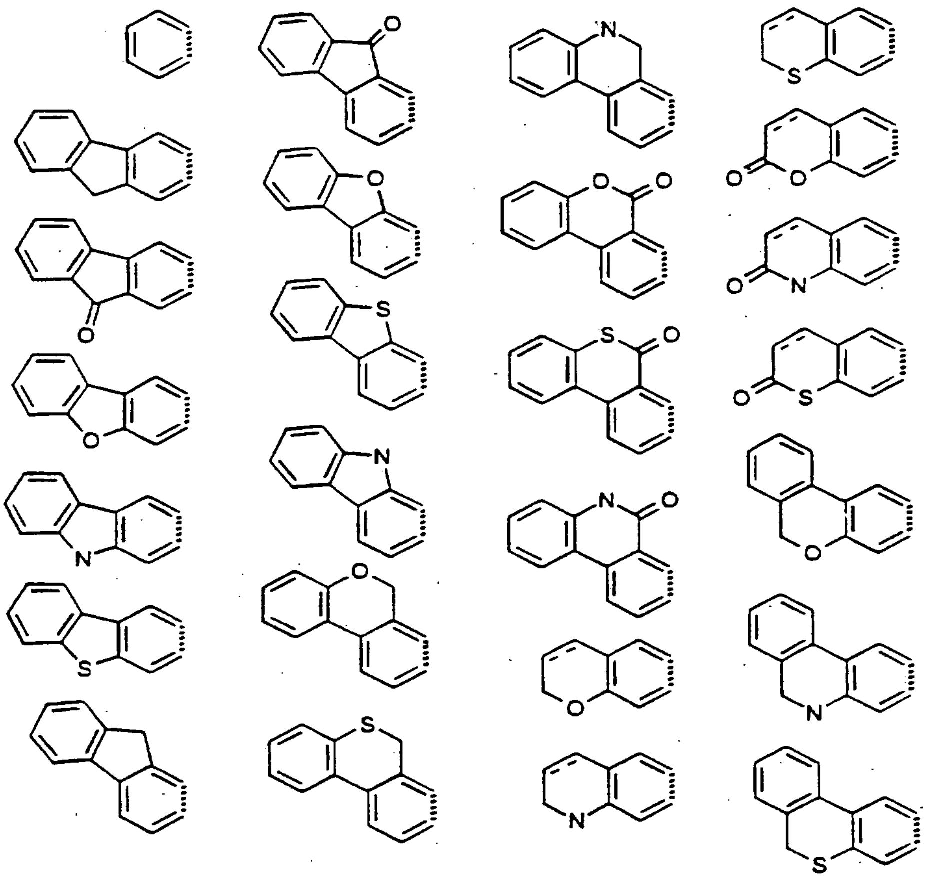
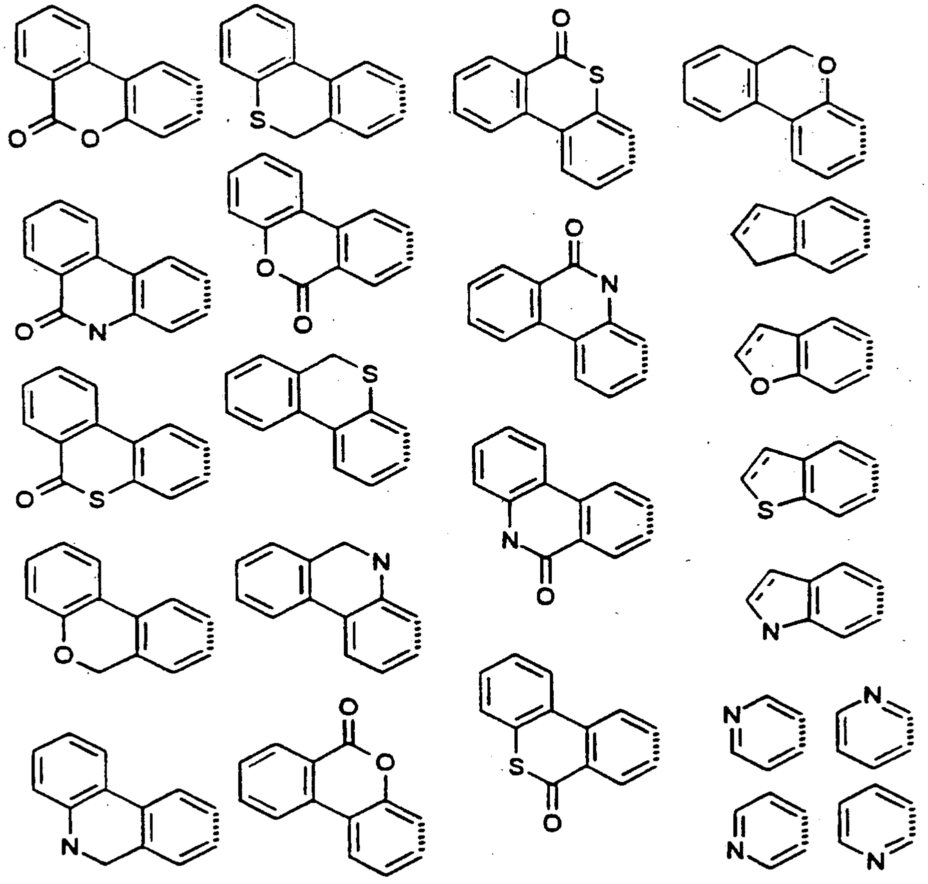
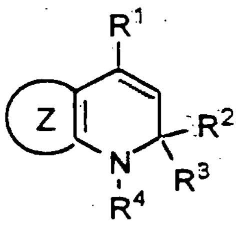
26. A pharmaceutical composition according to claim 25, wherein Z is selected from the group consisting of:





27. A pharmaceutical composition according to claim 25, wherein the composition is effective in treating and/or modulating human fertility, female hormone replacement, dysfunctional uterine bleeding, endometriosis, leiomyomas, acne, male-pattern baldness, osteoporosis, prostatic hyperplasia, cancer of the breast, cancer of the ovaries, endometrial cancer, prostate cancer, carbohydrate, protein and lipid metabolism, electrolyte and water balance, and functioning of the cardiovascular, kidney, central nervous, immune and skeletal muscle systems.

28. A method of treating a patient requiring steroid receptor therapy comprising administering to a patient an effective amount of a compound of the formula:



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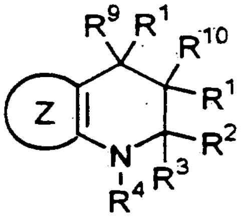

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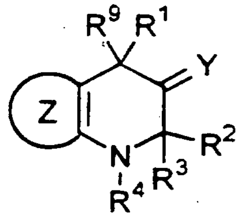


wherein:

R^1 through R^3 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl;

R^4 is hydrogen, a C_1 - C_6 alkyl, or $R^5C{=}O$, OR^6, or NR^6R^7, where R^5 is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl, and wherein R^6 and R^7 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl, or heteroaryl;

R^9 through R^{10} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl;

R^{11} is hydrogen, a C_1 - C_6 alkyl, OR^6 or optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl, where R^6 has the same definition given above, or R^1 and R^2, R^2 and R^3, R^1 and R^9, R^{10} and R^{11}, R^1 and R^{10} and/or R^{11} and R^2 when taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, OR^6 or NR^6R^7, where R^6 through R^7 have the definitions given above, provided, however, that R^1, R^2, R^{10} and R^{11} cannot form more than two three- to seven-membered rings at a time;

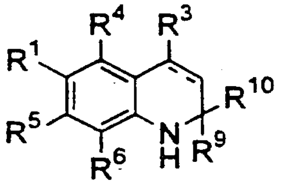
Y is O, CHR^6 or NR^6, where R^6 has the same definition given above; and

Z is an aryl or heteroaryl group, including mono- and poly-cyclic structures, optionally substituted at one or more positions with hydrogen, a C_1 -C_6 alkyl, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, heteroaryl, F, Cl, Br, I, CN, $R^5C{=}O$,

$R^6R^7NC=O$, $R^6OC=O$, perfluoroalkyl, haloalkyl, a C_1 - C_6 straight-chain hydroxy alkyl, $HOCR^5R^8$, nitro, R^6OCH_2, R^6O, NH_2, or R^6R^7N, where R^5 through R^7 have the definitions given above and where R^8 is hydrogen, a C_1 - C_6 alkyl or optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl.

29. A method of treating a patient according to claim 28, wherein the compound is effective in treating and/or modulating human fertility, female hormone replacement, dysfunctional uterine bleeding, endometriosis, leiomyomas, acne, male-pattern baldness, osteoporosis, prostatic hyperplasia, cancer of the breast, cancer of the ovaries, endometrial cancer, prostate cancer, carbohydrate, protein and lipid metabolism, electrolyte and water balance, and functioning of the cardiovascular, kidney, central nervous, immune and skeletal muscle systems.

30. A pharmaceutical composition comprising an effective amount of a steroid receptor modulating compound of the formulae:



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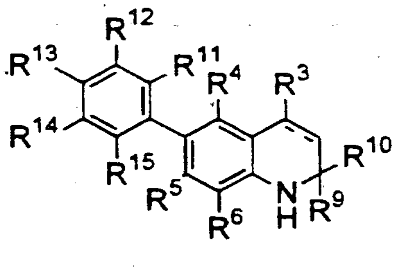

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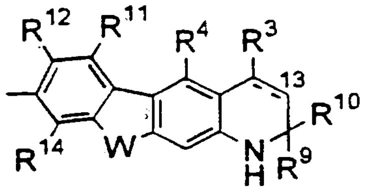

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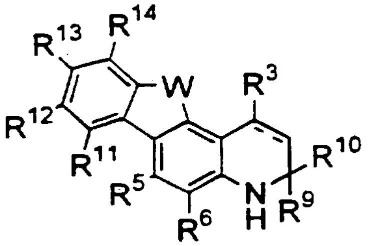

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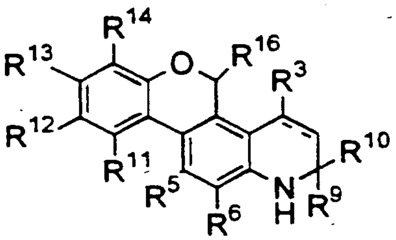

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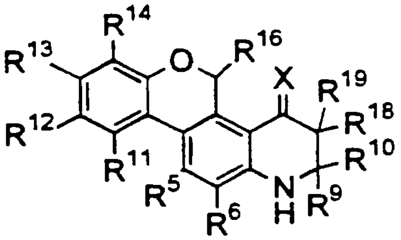

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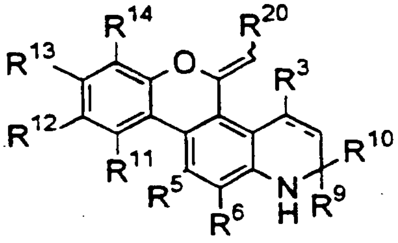

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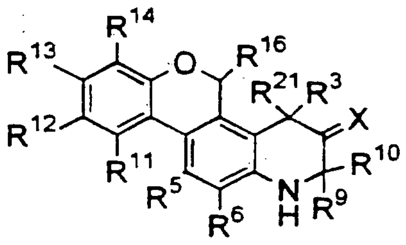

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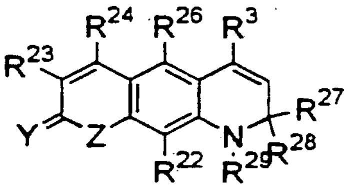

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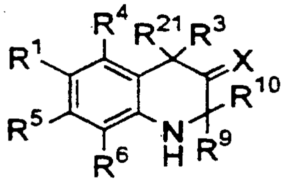

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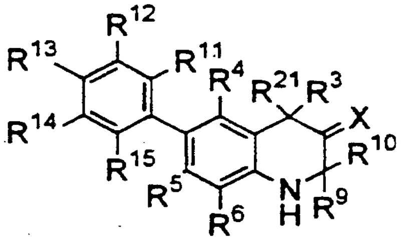

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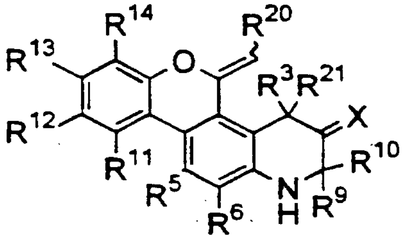

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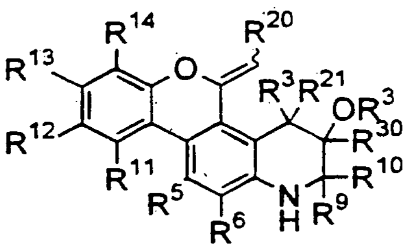

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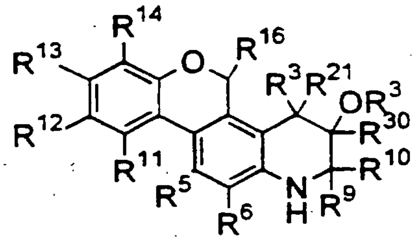

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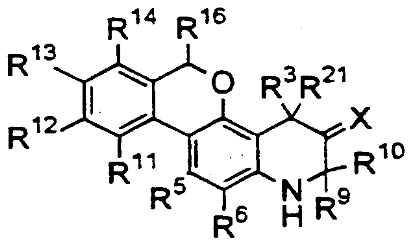

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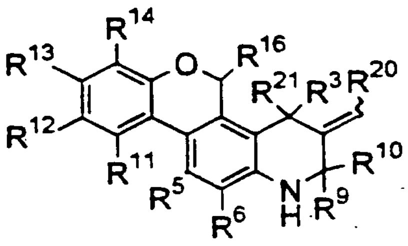

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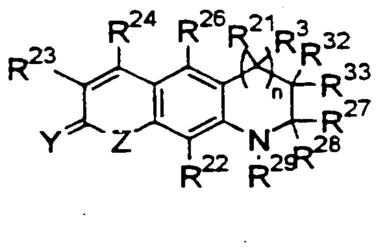

(XVII)

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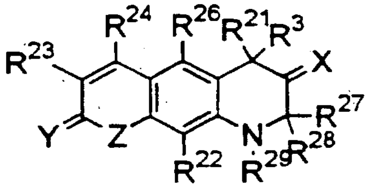


(XVIII)

wherein:

R^1 is a heteroaryl optionally substituted with a C_1 - C_4 alkyl, F, Cl, Br, NO_2, CO_2H, CO_2R^2, CHO, CN, CF_3, CH_2OH or $COCH_3$, where R^2 is hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, and where said R^1 heteroaryl is attached to compounds of formulas I and X through a carbon or nitrogen atom;

R^3 is hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, hydroxymethyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl;

R^4 through R^6 each independently are hydrogen, F, Cl, Br, I, NO_2, CO_2H, CO_2R^2, COR^2, CN, CF_3, CH_2OH, a C_1-C_4 alkyl or perfluoroalkyl, OR^2, SR^2, $S(O)R^2$, SO_2R^2, SO_3H, $S(NR^2R^7)R^2$, $S(O)(NR^2R^7)R^2$, NR^2R^7, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, where R^2 has the definition given above, R^7 is hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, aryl, heteroaryl, optionally substituted allyl or arylmethyl, OR^8 or NHR^8, where R^8 is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, SO_2R^2 or $S(O)R^2$;

R^9 and R^{10} each independently are hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, or R^9 and R^{10} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, OR^2, or NR^2R^7, where R^2 and R^7 have the definitions given above;

R^{11} through R^{15} each independently are hydrogen, F, Cl, Br, I, NO_2, CO_2H, CO_2R^2, COR^2, CN, CF_3, CH_2OH, a C_1-C_4 alkyl or perfluoroalkyl, OR^2, SR^2, $S(O)R^2$, SO_2R^2, SO_3H, $S(NR^2R^7)R^2$, $S(O)(NR^2R^7)R^2$, NR^2R^7, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, where R^2, R^7 and R^8 have the definitions given above;

W is O, NH, NR^7, CH_2, CHOH, C=O, OC=O, O=CO, $NR^7C{=}O$, NHC=O, $O{=}CNR^7$, O=CNH, SC=O, O=CS, or $CHOCOR^7$, where R^7 has the definition given above;

X is CH_2, O, S or NR^7, where R^7 has the definition given above;

R^{16} is hydrogen, OH, OR^{17}, SR^{17}, NR^2R^7, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, heteroaryl or C_1 - C_{10} alkyl, where R^{17} is a C_1 - C_{10} alkyl or perfluoroalkyl, or is an optionally substituted allyl, arylmethyl, aryl or heteroaryl, and where R^2 and R^7 have the definitions given above;

R^{18} and R^{19} each independently are hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, or R^{18} and R^{19} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, OR^2, or NR^7R^8, where R^2, R^7 and R^8 have the definitions given above;

R^{20} is a C_1 - C_6 alkyl or an optionally substituted allyl, arylmethyl, alkenyl, aryl or heteroaryl;

R^{21} is hydrogen, a C_1 - C_4 alkyl or optionally substituted allyl, arylmethyl, aryl or heteroaryl;

R^{22} is hydrogen, a C_1 - C_4 alkyl, F, Cl, Br, I, OR^2, NR^2R^7 or SR^2, where R^2 and R^7 have the definitions given above;

R^{23} is hydrogen, Cl, Br, OR^8, NR^2R^7, a C_1 - C_4 alkyl or perhaloalkyl, or is an optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl or heteroaryl, where R^2, R^7 and R^8 have the definitions given above;

R^{24} is hydrogen, F, Br, Cl, a C_1 - C_4 alkyl or perhaloalkyl, aryl, heteroaryl, CF_3, CF_2OR^{25}, CH_2OR^{25}, or OR^{25}, where R^{25} is a C_1 - C_4 alkyl;

R^{26} is hydrogen, a C_1 - C_4 alkyl, F, Cl, Br, I, OR^2, NR^2R^7 or SR^2, where R^2 and R^7 have the definitions given above;

R^{27} and R^{28} each independently are hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, heteroaryl, optionally substituted allyl, arylmethyl, alkynyl or alkenyl, or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, or R^{27} and R^{28} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, where R^2 and R^7 have the definitions given above;

R^{29} is hydrogen, a C_1 - C_6 alkyl or an optionally substituted allyl, arylmethyl, aryl or heteroaryl;

R^{30} and R^{31} each independently are hydrogen, a C_1 - C_6 alkyl or an optionally substituted allyl, arylmethyl, aryl or heteroaryl, or R^{30} and R^{31} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, Cl, OR^2 or NR^2R^7, where R^2 and R^7 have the definitions given above;

R^{32} and R^{33} each independently are hydrogen, a C_1 - C_4 alkyl or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, or R^{32} and R^{33} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, where R^2 and R^7 have the definitions given above;

n is 0 or 1;

Y is O or S;

Z is O, S, NH, NR^2 or $NCOR^2$, where R^2 has the same definition given above;

the wavy line in the compounds of formulas VII, XII, XIII and XVI represent an olefin bond in either the *cis* or *trans* configuration;

the dotted lines in the structures depict optional double bonds; and

a pharmaceutically acceptable carrier.

31. A composition according to claim 30, wherein the compounds of formulae I through XVIII comprise steroid receptor modulator compounds.

32. A composition according to claim 31, wherein the compounds of formulae I, II, III, IV, X and XI comprise PR antagonists.

33. A composition according to claim 31, wherein the compounds of formulae V and VI comprise PR modulators.

34. A composition according to claim 31, wherein the compounds of formulae VII, VIII, XII, XIII, XIV, XV and XVI comprise PR agonists.

35. A composition according to claim 31, wherein the compounds of formulae IX, XVII and XVIII comprise AR modulators.

36. A composition according to claim 35, wherein the compounds of formulae IX and XVII comprise AR antagonists.

37. A composition according to claim 30, wherein the compound comprises an estrogen receptor agonist or antagonist.

38. A composition according to claim 30, wherein the compound comprises a glucocorticoid receptor agonist or antagonist.

39. A composition according to claim 30, wherein the compound comprises a mineralocorticoid receptor agonist or antagonist.

40. A composition according to claim 30, wherein the composition is formulated for oral, topical, intravenous, suppository or parental administration.

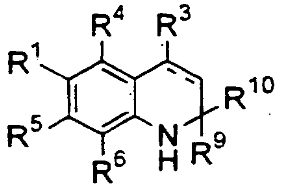
41. A composition according to claim 30, wherein the compound is administered to a patient as a dosage unit at from about 1μg/kg of body weight to about 500 mg/kg of body weight.

42. A composition according to claim 30, wherein the compound is administered to a patient as a dosage unit at from about 10μg/kg of body weight to about 250 mg/kg of body weight.

43. A composition according to claim 30, wherein the compound is administered to a patient as a dosage unit at from about 20μg/kg of body weight to about 100 mg/kg of body weight.

44. A composition according to claim 30, wherein the composition is effective in treating and/or modulating human fertility, female hormone replacement, dysfunctional uterine bleeding, endometriosis, leiomyomas, acne, male-pattern baldness, osteoporosis, prostatic hyperplasia, cancer of the breast, cancer of the ovaries, endometrial cancer, prostate cancer, carbohydrate, protein and lipid metabolism, electrolyte and water balance, and functioning of the cardiovascular, kidney, central nervous, immune and skeletal muscle systems.

45. A method of treating a patient requiring steroid receptor therapy comprising administering to a patient an effective amount of a compound having the formulae:



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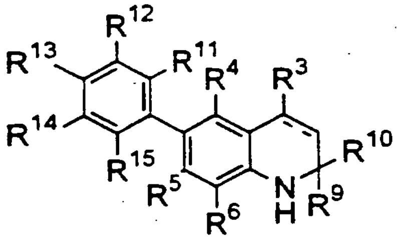

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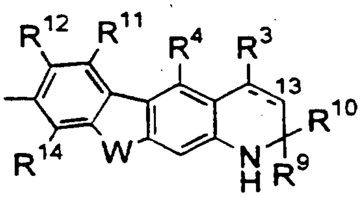

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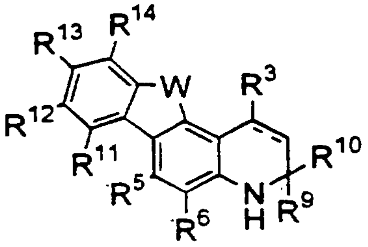

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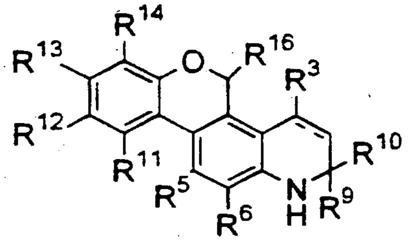

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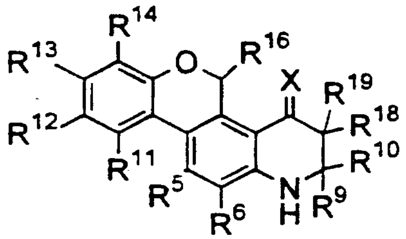

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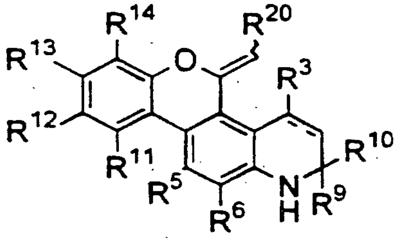

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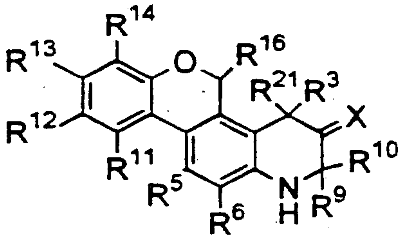

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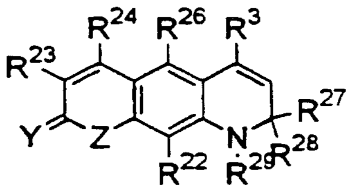

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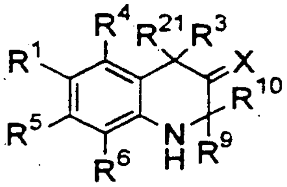
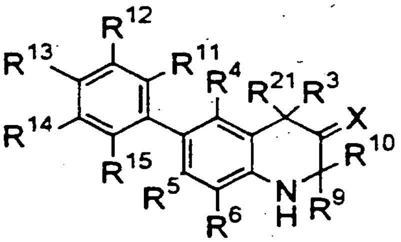


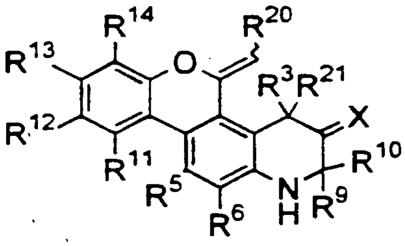
(X)

OR



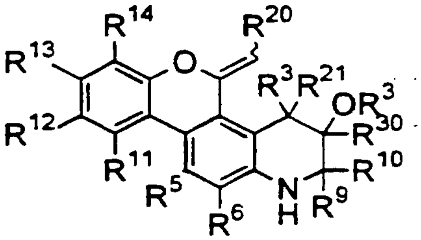
(XI)

OR



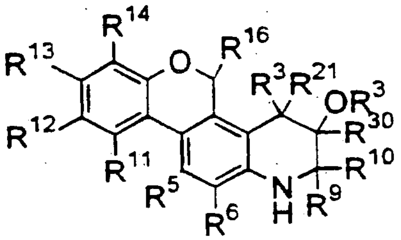
(XII)

OR



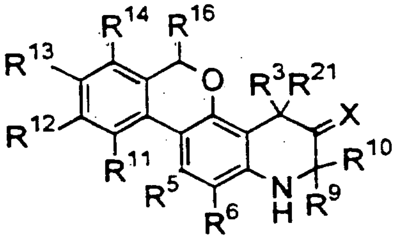
(XIII)

OR



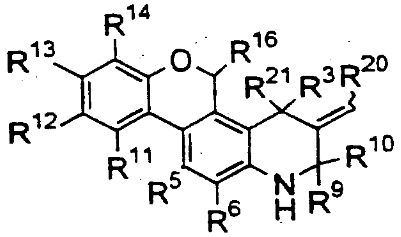
(XIV)

OR



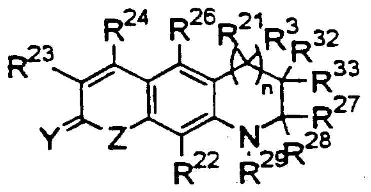
(XV)

OR



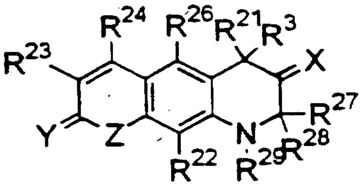
(XVI)

OR



(XVII)

OR



(XVIII)

wherein:

R^1 is a heteroaryl optionally substituted with a C_1 - C_4 alkyl, F, Cl, Br, NO_2, CO_2H, CO_2R^2, CHO, CN, CF_3, CH_2OH or $COCH_3$, where R^2 is hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, and where said R^1 heteroaryl is attached to compounds of formulas I and X through a carbon or nitrogen atom;

R^3 is hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, hydroxymethyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl;

R^4 through R^6 each independently are hydrogen, F, Cl, Br, I, NO_2, CO_2H, CO_2R^2, COR^2, CN, CF_3, CH_2OH, a C_1-C_4 alkyl or perfluoroalkyl, OR^2, SR^2, $S(O)R^2$, SO_2R^2, SO_3H, $S(NR^2R^7)R^2$, $S(O)(NR^2R^7)R^2$, NR^2R^7, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, where R^2 has the definition given above, R^7 is hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, aryl, heteroaryl, optionally substituted allyl or arylmethyl, OR^8 or NHR^8, where R^8 is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, SO_2R^2 or $S(O)R^2$;

R^9 and R^{10} each independently are hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, or R^9 and R^{10} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, OR^2, or NR^2R^7, where R^2 and R^7 have the definitions given above;

R^{11} through R^{15} each independently are hydrogen, F, Cl, Br, I, NO_2, CO_2H, CO_2R^2, COR^2, CN, CF_3, CH_2OH, a C_1-C_4 alkyl or perfluoroalkyl, OR^2, SR^2, $S(O)R^2$, SO_2R^2, SO_3H, $S(NR^2R^7)R^2$, $S(O)(NR^2R^7)R^2$, NR^2R^7, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, where R^2, R^7 and R^8 have the definitions given above;

W is O, NH, NR^7, CH_2, CHOH, C=O, OC=O, O=CO, $NR^7C=O$, NHC=O, $O=CNR^7$, O=CNH, SC=O, O=CS, or $CHOCOR^7$, where R^7 has the definition given above;

X is CH_2, O, S or NR^7, where R^7 has the definition given above;

R^{16} is hydrogen, OH, OR^{17}, SR^{17}, NR^2R^7, optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, heteroaryl or C_1 - C_{10} alkyl, where R^{17} is a C_1 - C_{10} alkyl or perfluoroalkyl, or is an optionally substituted allyl, arylmethyl, aryl or heteroaryl, and where R^2 and R^7 have the definitions given above;

R^{18} and R^{19} each independently are hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, aryl, heteroaryl or optionally substituted allyl, arylmethyl, alkynyl or alkenyl, or R^{18} and R^{19} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, OR^2, or NR^7R^8, where R^2, R^7 and R^8 have the definitions given above;

R^{20} is a C_1 - C_6 alkyl or an optionally substituted allyl, arylmethyl, alkenyl, aryl or heteroaryl;

R^{21} is hydrogen, a C_1 - C_4 alkyl or optionally substituted allyl, arylmethyl, aryl or heteroaryl;

R^{22} is hydrogen, a C_1 - C_4 alkyl, F, Cl, Br, I, OR^2, NR^2R^7 or SR^2, where R^2 and R^7 have the definitions given above;

R^{23} is hydrogen, Cl, Br, OR^8, NR^2R^7, a C_1 - C_4 alkyl or perhaloalkyl, or is an optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl or heteroaryl, where R^2, R^7 and R^8 have the definitions given above;

R^{24} is hydrogen, F, Br, Cl, a C_1 - C_4 alkyl or perhaloalkyl, aryl, heteroaryl, CF_3, CF_2OR^{25}, CH_2OR^{25}, or OR^{25}, where R^{25} is a C_1 - C_4 alkyl;

R^{26} is hydrogen, a C_1 - C_4 alkyl, F, Cl, Br, I, OR^2, NR^2R^7 or SR^2, where R^2 and R^7 have the definitions given above;

R^{27} and R^{28} each independently are hydrogen, a C_1 - C_4 alkyl or perfluoroalkyl, heteroaryl, optionally substituted allyl, arylmethyl, alkynyl or alkenyl, or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, or R^{27} and R^{28} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, where R^2 and R^7 have the definitions given above;

R^{29} is hydrogen, a C_1 - C_6 alkyl or an optionally substituted allyl, arylmethyl, aryl or heteroaryl;

R^{30} and R^{31} each independently are hydrogen, a C_1 - C_6 alkyl or an optionally substituted allyl, arylmethyl, aryl or heteroaryl, or R^{30} and R^{31} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, Cl, OR^2 or NR^2R^7, where R^2 and R^7 have the definitions given above;

R^{32} and R^{33} each independently are hydrogen, a C_1 - C_4 alkyl or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, or R^{32} and R^{33} taken together can form a three- to seven-membered ring optionally substituted with hydrogen, F, Cl, Br, OR^2 or NR^2R^7, where R^2 and R^7 have the definitions given above;

n is 0 or 1;

Y is O or S;

Z is O, S, NH, NR^2 or $NCOR^2$, where R^2 has the same definition given above;

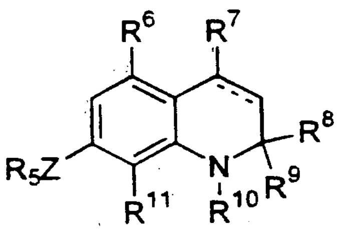
the wavy line in the compounds of formulas VII, XII, XIII and XVI represent an olefin bond in either the *cis* or *trans* configuration; and

the dotted lines in the structures depict optional double bonds.

46. A method of treating a patient according to claim 45, wherein the compound is effective in treating and/or modulating human fertility, female hormone replacement, dysfunctional uterine bleeding, endometriosis, leiomyomas, acne, male-pattern baldness,

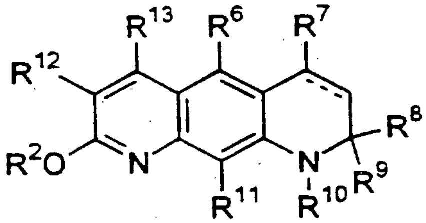
osteoporosis, prostatic hyperplasia, cancer of the breast, cancer of the ovaries, endometrial cancer, prostate cancer, carbohydrate, protein and lipid metabolism, electrolyte and water balance, and functioning of the cardiovascular, kidney, central nervous, immune and skeletal muscle systems.

47. A compound having the formulae:



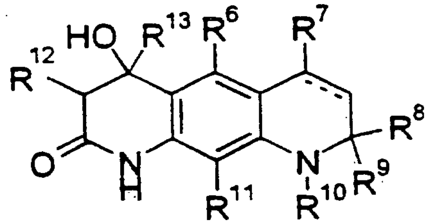
(I)

OR



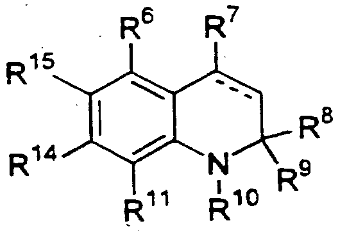
(II)

OR



(III)

OR



(IV)

wherein:

Z is O, S, or NR^1, where R^1 is hydrogen, $R^2C{=}O$, $R^2C{=}S$, $R^3OC{=}O$, $R^3SC{=}O$, $R^3OC{=}S$, $R^3SC{=}S$ or $R^3R^4NC{=}O$, where R^2 is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^3 and R^4 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl;

R^5 is hydrogen, $R^2C{=}O$, $R^2C{=}S$, $R^3OC{=}O$, $R^3SC{=}O$, $R^3OC{=}S$, $R^3SC{=}S$, or $R^3R^4NC{=}O$, where R^2, R^3 and R^4 have the same definitions as given above;

R^6 is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^3O, $HOCH_2$, R^3OCH_2, F, Cl, Br, I, cyano, R^3R^4N or perfluoroalkyl, where R^3 and R^4 have the same definitions as given above;

R^7 through R^9 each independently are hydrogen, a C_1 - C_6 alkyl, allyl or optionally substituted allyl, arylmethyl, alkynyl, alkenyl, aryl, or heteroaryl, or R^8 and R^9 taken together form a three- to seven-membered carbocylic or heterocyclic ring;

R^{10} is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl, or heteroaryl, $R^2C{=}O$, $R^2C{=}S$, $R^3OC{=}O$, $R^3SC{=}O$, $R^3OC{=}S$, $R^3SC{=}S$ or $R^3R^4NC{=}O$, where R^2 through R^4 have the same definitions as given above;

R^{11} and R^{12} each independently represent hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^3O, $HOCH_2$, R^3OCH_2, F, Cl, Br, I, cyano, R^3R^4N or perfluoroalkyl, where R^3 and R^4 have the same definitions as given above;

R^{13} is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^3O, $HOCH_2$, R^3OCH_2, R^3R^4N, CF_2Cl, CF_2OR^3 or perfluoroalkyl, where R^3 and R^4 have the same definitions as given above;

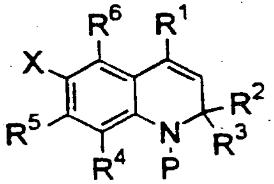
R^{14} is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^3O, $HOCH_2$, R^3OCH_2, F, Cl, Br, I, cyano, R^3R^4N or perfluoroalkyl where R^3 and R^4 have the same definitions as given above; and

R^{15} is F, Cl, Br, I, $B(OR^{16})_2$, $SnR^{17}R^{18}R^{19}$ or OSO_2R^{20}, where R^{16} is hydrogen or a C_1 - C_6 alkyl, R^{17} through R^{19} each independently represent a C_1 - C_6 alkyl, R^2O or heteroaryl, R^{20} is a C_1 - C_6 alkyl, perfluoroalkyl, aryl, or heteroaryl, and R^2 has the same definition as given above.

48. A compound according to claim 45 selected from the group consisting of 1, 2-Dihydro-2,2,4-trimethyl-5-coumarino[3,4-*f*]quinoline; 9-Fluoro-1,2-dihydro-2,2,4-trimethyl-5-coumarino[3,4-*f*]quinoline; 8-Fluoro-1,2-dihydro-2,2,4-trimethyl-5-coumarino[3,4-*f*]quinoline; 9-Chloro-1,2-dihydro-2,2,4-trimethyl-5-coumarino[3,4-*f*]quinoline; 8-Ethoxy-1,2-dihydro-2,2,4-trimethyl-6-trifluoromethyl-8-pyrido[5,6-g]quinoline; and 1,2,6,7-Tetrahydro-6-hydroxy-2,2,4-trimethyl-6-trifluoromethyl-8-pyridono[5,6-*g*]quinoline.

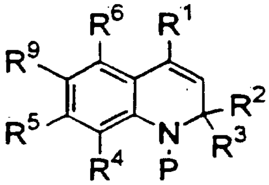
49. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline comprising:

(a) in a one-pot reaction, exchanging a 6-halo-1,2-dihydro N-1 protected quinoline of the formula:



in the presence of an alkyllithium, followed by addition of an organoborate and acid treatment to yield the corresponding 6-boro-1,2-dihydro N-1 protected quinoline;

(b) coupling the 6-boro-1,2-dihydro N-1 protected quinoline with a coupling partner of the formula R^9Y in the presence of a palladium catalyst and base to yield a 6-substituted-1,2-dihydro N-1 protected quinoline of the formula:

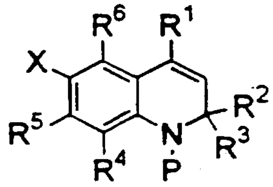


wherein R^1 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^2 and R^3 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 through R^6 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^7O, $HOCH_2$; R^7OCH_2, F, Cl, Br, I, cyano, R^7R^8N or perfluoroalkyl, where R^7 and R^8 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl; R^9 is an aryl or heteroaryl; X is Br, Cl or I; Y is Cl, Br, I or OSO_2CF_3; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl, $R^{10}C{=}O$, $R^{10}C{=}S$, $R^{11}OC{=}O$, $R^{11}SC{=}O$, $R^{11}OC{=}S$, $R^{11}SC{=}S$ or $R^{11}R^{12}NC{=}O$, where R^{10} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^{11} and R^{12} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

50. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 49, further comprising, deprotecting the 6-substituted-1,2-dihydro N-1 protected quinoline to yield the corresponding 6-substituted-1,2-dihydroquinoline.

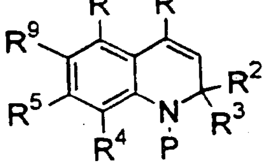
51. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline comprising:

(a) in a one-pot reaction, exchanging a 6-halo-1,2-dihydro N-1 protected quinoline of the formula:



in the presence of a reactive metal, followed by addition of an organoborate and acid treatment to yield the corresponding 6-boro-1,2-dihydro N-1 protected quinoline;

(b) coupling the 6-boro-1,2-dihydro N-1 protected quinoline with a coupling partner of the formula R^9Y in the presence of a palladium catalyst and base to yield a 6-substituted-1,2-dihydro N-1 protected quinoline of the formula:



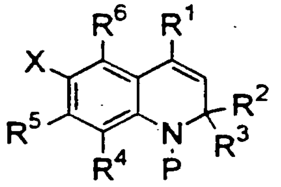
wherein R^1 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^2 and R^3 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 through R^6 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^7O, $HOCH_2$, R^7OCH_2, F, Cl, Br, I, cyano, R^7R^8N or perfluoroalkyl, where R^7 and R^8 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl; R^9 is an aryl or heteroaryl; X is Br, Cl or I; Y is Cl, Br, I or OSO_2CF_3; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl, $R^{10}C{=}O$, $R^{10}C{=}S$, $R^{11}OC{=}O$, $R^{11}SC{=}O$, $R^{11}OC{=}S$, $R^{11}SC{=}S$ or $R^{11}R^{12}NC{=}O$, where R^{10} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^{11} and R^{12} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

52. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 51, further comprising, deprotecting the 6-substituted-1,2-dihydro N-1 protected quinoline to yield the corresponding 6-substituted-1,2-dihydroquinoline.

53. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 51, wherein the reactive metal is selected from the group consisting of magnesium, zinc, and combinations thereof.

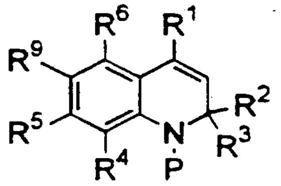
54. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline comprising:

(a) in a one-pot reaction, exchanging a 6-halo-1,2-dihydro N-1 protected quinoline of the formula:



in the presence of an alkyllithium, followed by addition of an organotin species to yield the corresponding 6-stannyl-1,2-dihydro N-1 protected quinoline;

(b) coupling the 6-stannyl-1,2-dihydro N-1 protected quinoline with a coupling partner of the formula R^9Y in the presence of a palladium catalyst to yield a 6-substituted-1,2-dihydro N-1 protected quinoline of the formula:

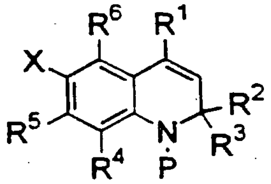


wherein R^1 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^2 and R^3 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 through R^6 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^7O, $HOCH_2$, R^7OCH_2, F, Cl, Br, I, cyano, R^7R^8N or perfluoroalkyl, where R^7 and R^8 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl; R^9 is an aryl or heteroaryl; X is Br, Cl or I; Y is Cl, Br, I or OSO_2CF_3; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl, $R^{10}C{=}O$, $R^{10}C{=}S$, $R^{11}OC{=}O$, $R^{11}SC{=}O$, $R^{11}OC{=}S$, $R^{11}SC{=}S$ or $R^{11}R^{12}NC{=}O$, where R^{10} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^{11} and R^{12} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

55. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 54, further comprising, deprotecting the 6-substituted-1,2-dihydro N-1 protected quinoline to yield the corresponding 6-substituted-1,2-dihydroquinoline.

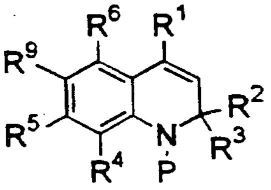
56. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline comprising:

(a) in a one-pot reaction, exchanging a 6-halo-1,2-dihydro N-1 protected quinoline of the formula:



in the presence of a reactive metal, followed by addition of an organotin species to yield the corresponding 6-stannyl-1,2-dihydro N-1 protected quinoline;

(b) coupling the 6-stannyl-1,2-dihydro N-1 protected quinoline with a coupling partner of the formula R^9Y in the presence of a palladium catalyst and base to yield a 6-substituted-1,2-dihydro N-1 protected quinoline of the formula:



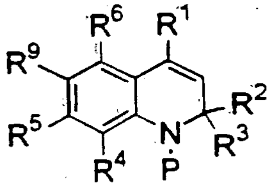
wherein R^1 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^2 and R^3 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 through R^6 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^7O, $HOCH_2$, R^7OCH_2, F, Cl, Br, I, cyano, R^7R^8N or perfluoroalkyl, where R^7 and R^8 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl; R^9 is an aryl or heteroaryl; X is Br, Cl or I; Y is Cl, Br, I or OSO_2CF_3; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl, $R^{10}C{=}O$, $R^{10}C{=}S$, $R^{11}OC{=}O$, $R^{11}SC{=}O$, $R^{11}OC{=}S$, $R^{11}SC{=}S$ or $R^{11}R^{12}NC{=}O$, where R^{10} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^{11} and R^{12} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

57. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 56, further comprising, deprotecting the 6-substituted-1,2-dihydro N-1 protected quinoline to yield the corresponding 6-substituted-1,2-dihydroquinoline.

58. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 56, wherein the reactive metal is selected from the group consisting of magnesium, zinc, and combinations thereof.

59. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline comprising:

(a) coupling the 6-halo-1,2-dihydro N-1 protected quinoline with a coupling partner of the formula R^9Y in the presence of a palladium catalyst and base to yield a 6-substituted-1,2-dihydro N-1 protected quinoline of the formula:

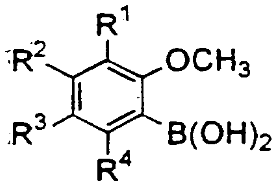


wherein R^1 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^2 and R^3 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 through R^6 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, aryl methyl, alkenyl, alkynyl, aryl, heteroaryl, R^7O, $HOCH_2$, R^7OCH_2, F, Cl, Br, I, cyano, R^7R^8N or perfluoroalkyl, where R^7 and R^8 each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl; R^9 is an aryl or heteroaryl; X is Br, Cl, I or OSO_2CF_3; Y is $B(OR^{10})_2$ or $SnR^{11}R^{12}R^{13}$, where R^{10} is hydrogen or a C_1 - C_4 alkyl, and where R^{11} through R^{13} each independently are a C_1 - C_4 alkyl; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl, $R^{14}C{=}O$, $R^{14}C{=}S$, $R^{15}OC{=}O$, $R^{15}SC{=}O$, $R^{15}OC{=}S$, $R^{15}SC{=}S$ or $R^{15}R^{16}NC{=}O$, where R^{14} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl, methyl, alkenyl, alkynyl, aryl or heteroaryl, and where R^{15} and R^{16} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

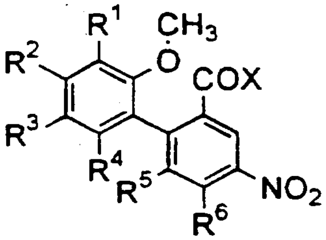
60. A method for producing a 6-substituted-1,2-dihydro N-1 protected quinoline according to claim 59, further comprising, deprotecting the 6-substituted-1,2-dihydro N-1 protected quinoline to yield the corresponding 6-substituted-1,2-dihydroquinoline.

61. A method for producing a nitrobenzocoumarin comprising:

(a) coupling a 2-halo-5-nitrobenzoic acid derivative with a 2-methoxyphenyl boronic acid of the formula:

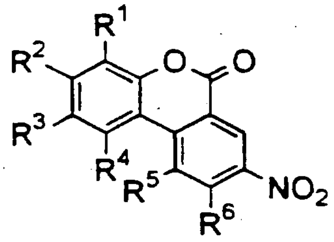


in the presence of a palladium catalyst and base to yield a biaryl carboxylate of the formula:



and

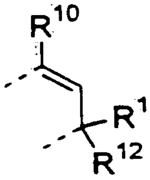
(b) in a one-pot reaction, sequentially cyclizing the biaryl carboxylate to the corresponding nitrobenzocoumarin by deprotecting the biaryl carboxylate to afford the biaryl carboxylic acid, converting the biaryl carboxylic acid to the corresponding biaryl acid chloride, followed by cyclizing the biaryl acid chloride in the presence of a Lewis acid to yield a nitrobenzocoumarin of the formula:



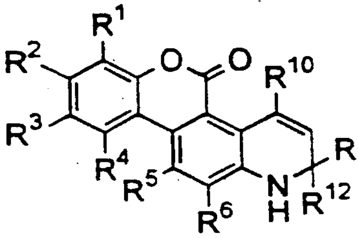
wherein R^1 through R^6 each independently are hydrogen, F, Cl, Br, CN, CF_3, a C_1 - C_4 alkyl, or OR^7, where R^7 is hydrogen or a C_1 - C_4 alkyl; and X is OR^8 or NR^8R^9, where R^8 and R^9 each independently are hydrogen, a C_1 - C_4 alkyl or optionally substituted allyl, aryl methyl, aryl or heteroaryl.

62. A method according to claim 61, further comprising, reducing the nitrobenzocoumarin to the corresponding aminobenzocoumarin.

63. A method according to claim 62, further comprising, adding an optionally substituted allyl of the formula:

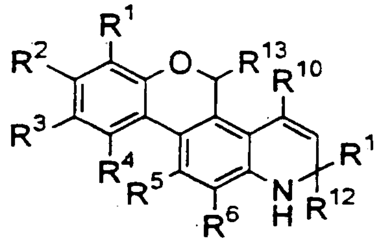


to the aminobenzocoumarin to yield a coumarino[3,4-*f*]quinoline of the formula:



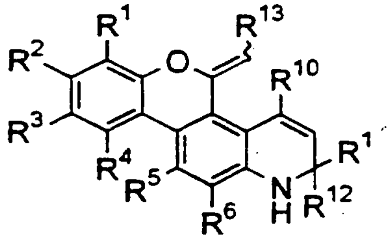
wherein R^1 through R^9 have the same definitions as given in claim 67, and wherein R^{10} is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl, and R^{11} and R^{12} each independently are a C_1 - C_4 alkyl, aryl or heteroaryl.

64. A method according to claim 63, further comprising, sequentially adding an organometallic to the coumarino[3,4-*f*]quinoline, followed by reduction to a 5*H*-chromeno[3,4-*f*]quinoline of the formula:



wherein R^1 through R^{12} have the same definitions as given in claim 69, and wherein R^{13} is hydrogen, a C_1 - C_{12} alkyl, OH, OR^{14} or SR^{14}, where R^{14} is a C_1 - C_{10} alkyl, CF_3, a five-membered heteroaryl optionally substituted with F, Cl, Br, CH_3 or CF_3, a six-membered heteroaryl optionally substituted with F, Cl, Br or CH_3, or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^{15} or NR_2^{15}, where R^{15} is hydrogen or a C_1 - C_4 alkyl.

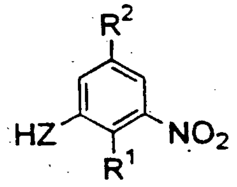
65. A method for producing a 5*H*-chromenao[3,4-*f*]quinoline comprising sequentially adding an organometallic to a coumarino[3,4-*f*]quinoline, followed by dehydration to yield a 5*H*-chromenao[3,4-*f*]quinoline of the formula:



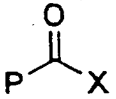
wherein R^1 through R^6 each independently are hydrogen, F, Cl, Br, CN, CF_3, a C_1 - C_4 alkyl or OR^7, where R^7 is hydrogen or a C_1 - C_4 alkyl; X is OR^8 or NR^8R^9, where R^8 and R^9 each independently are hydrogen, a C_1 - C_4 alkyl or optionally substituted allyl, aryl methyl, aryl or heteroaryl; R^{10} is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^{11} and R^{12} each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^{13} is hydrogen, a C_1 - C_{12} alkyl, OH, OR^{14} or SR^{14}, where R^{14} is a C_1 - C_{10} alkyl, CF_3, a five-membered heteroaryl optionally substituted with F, Cl, Br, CH_3 or CF_3, a six-membered heteroaryl optionally substituted with F, Cl, Br or CH_3, or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^{15} or NR_2^{15}, where R^{15} is hydrogen or a C_1 - C_4 alkyl; and the wavy line in the structure at R^{13} represents an olefin bond in either the *cis* or *trans* configuration.

66. A method of producing a linear tricyclic 1,2-dihydroquinoline comprising:

(a) acylating a 3-nitroaryl of the formula:



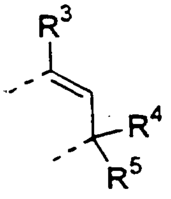
with an acylating agent of the formula:



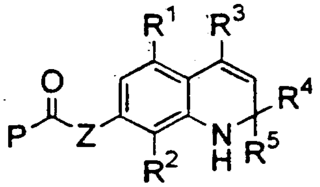
to yield the corresponding 5-protected 3-nitroaryl;

(b) reducing the 5-protected 3-nitroaryl to the corresponding 5-protected 3-aminoaryl;

(c) adding an optionally substituted allyl of the formula:

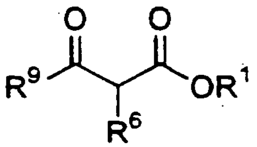


to yield a 5-protected 1,2-dihydroquinoline of the formula:

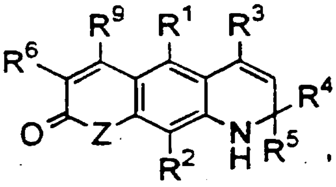


and

(d) cyclizing the 5-protected 1,2-dihydroquinoline by first deprotecting the Z group and then cyclizing in the presence of a β-keto ester of the formula:



and Lewis acid to yield a linear tricyclic 1,2-dihydroquinoline selected from the group consisting of:



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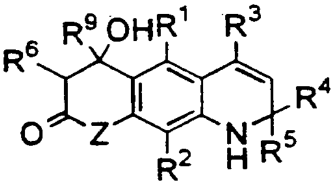
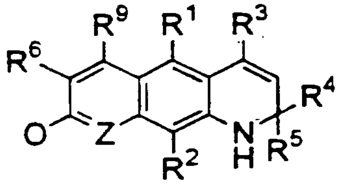
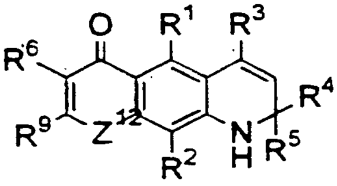




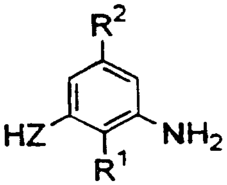
and



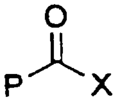
wherein R^1 and R^2 each independently are hydrogen, a C_1 - C_4 alkyl, a hydroxy methyl, F, Cl, Br, I or CN; R^3 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 and R^5 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^6 is hydrogen, a C_1 - C_4 alkyl, CF_3, a five-membered heteroaryl optionally substituted with F, Cl, Br, CH_3 or CF_3, a six-membered heteroaryl optionally substituted with F, Cl, Br or CH_3, or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^7 or NR^7R^8, where R^7 and R^8 each independently are hydrogen or a C_1 - C_4 alkyl; R^9 is hydrogen, a C_1 - C_4 alkyl, CF_3, perhaloalkyl, CF_2OR^{10}, CH_2OR^{10}, or OR^{10}, where R^{10} is a C_1 - C_4 alkyl; R^{11} and R^{12} each independently represent hydrogen or a C_1 - C_4 alkyl; Z is O, N or S; X is F, Cl, Br, I, CN or OR^6, where R^6 has the same meaning as above; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl, or heteroaryl, $R^{13}C{=}O$, $R^{13}C{=}S$, $R^{14}OC{=}O$, $R^{14}SC{=}O$, $R^{14}OC{=}S$, $R^{14}SC{=}S$ or $R^{14}R^{15}NC{=}O$, where R^{13} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^{14} and R^{15} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

67. A method of producing a linear tricyclic 1,2-dihydroquinoline comprising:

(a) acylatine a 3-aminoaryl of the formula:

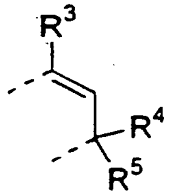


with an acylating agent of the formula:

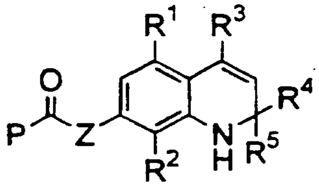


in the presence of base to yield the corresponding 5-protected 3-aminoaryl;

(b) adding an optionally substituted allyl of the formula:

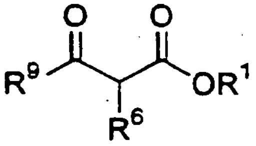


to yield a 5-protected 1,2-dihydroquinoline of the formula:

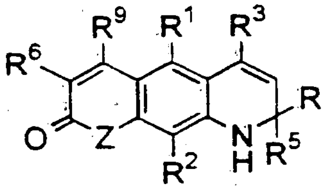


and

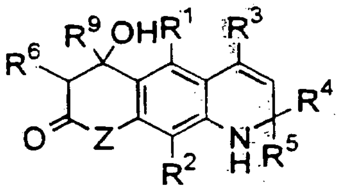
(c) cyclizing the 5-protected 1,2-dihydroquinoline by first deprotecting the Z group and then cyclizing in the presence of a β-keto ester of the formula:

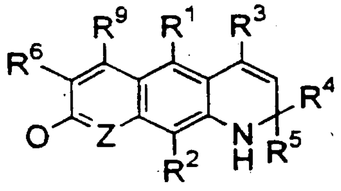


and Lewis acid to yield a linear tricyclic 1,2-dihydroquinoline selected from the group consisting of:

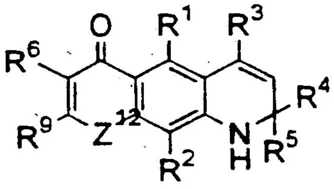


,





and



wherein R^1 and R^2 each independently are hydrogen, a C_1 - C_4 alkyl, a hydroxy methyl, F, Cl, Br, I or CN; R^3 is hydrogen, a C_1 - C_4 alkyl, aryl or heteroaryl; R^4 and R^5 each independently are a C_1 - C_4 alkyl, aryl or heteroaryl; R^6 is hydrogen, a C_1 - C_4 alkyl, CF_3, a five-membered heteroaryl optionally substituted with F, Cl, Br, CH_3 or CF_3, a six-membered heteroaryl optionally substituted with F, Cl, Br or CH_3, or an aryl optionally substituted with hydrogen, F, Cl, Br, OR^7 or NR^7R^8, where R^7 and R^8 each independently are hydrogen or a C_1 - C_4 alkyl; R^9 is hydrogen, a C_1 - C_4 alkyl, CF_3, perhaloalkyl, CF_2OR^{10}, CH_2OR^{10}, or OR^{10}, where R^{10} is a C_1 - C_4 alkyl; R^{11} and R^{12} each independently represent hydrogen or a C_1 - C_4 alkyl; Z is O, N or S; X is F, Cl, Br, I, CN or OR^6, where R^6 has the same meaning as above; and P is hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl, or heteroaryl, $R^{13}C{=}O$, $R^{13}C{=}S$, $R^{14}OC{=}O$, $R^{14}SC{=}O$, $R^{14}OC{=}S$, $R^{14}SC{=}S$ or $R^{14}R^{15}NC{=}O$, where R^{13} is hydrogen, a C_1 - C_6 alkyl or perfluoroalkyl, optionally substituted allyl or aryl methyl alkenyl, alkynyl, aryl or heteroaryl, and where R^{14} and R^{15} each independently are hydrogen, a C_1 - C_6 alkyl, optionally substituted allyl, arylmethyl, aryl or heteroaryl.

68. A method for determining the presence of one or more steroid receptors in a sample comprising combining a compound according to claim 1 with the sample containing one or more unknown steroid receptors and determining whether said compound binds to a receptor in the sample.

69. A ligand-steroid receptor complex formed by the binding of a compound according to claim 1 to a steroid receptor.

70. A method of purifying steroid receptors comprising combining a compound according to claim 1 with a sample containing steroid receptors, allowing said compound to bind said steroid receptors, and separating out the bound combination of said compound and said steroid receptors.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 95/16096

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D215/06 A61K31/47 C07D417/04 C07D413/04 C07D401/04
C07D221/18 C07D493/04 C07D471/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP,A,0 260 744 (JANSSEN PHARMACEUTICA NV) 23 March 1988 see the whole document ---	1
X	DATABASE CROSSFIRE Beilstein Informationssysteme GmbH, Frankfurt, DE XP002002687 * BRN=880905 * & J. ORG. CHEM. USSR, vol. 9, 1973, pages 2571-2576, --- -/--	1

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

1

Date of the actual completion of the international search 10 May 1996	Date of mailing of the international search report 23. 05. 96
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+ 31-70) 340-3016	Authorized officer De Jong, B

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category°	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CROSSFIRE Beilstein XP002002688 * BRN=143474 * & JOURNAL OF ORGANIC CHEMISTRY, vol. 30, 1965, EASTON US, pages 3560-3561, ---	1
X	DATABASE CROSSFIRE Beilstein XP002002689 * BRN=18052 * & YAKUGAKU ZASSHI, vol. 57, 1937, pages 312-316, UEDA: ---	1
X	DATABASE CROSSFIRE Beilstein XP002002690 * BRN=185528 * & ANAL. CHEM., vol. 27, 1955, pages 100-101, ---	1
X	DATABASE CROSSFIRE Beilstein XP002002691 * BRN=384096 * & CHEMISCHE BERICHTE, vol. 35, 1902, WEINHEIM DE, page 3278 ---	1
X	DATABASE CROSSFIRE Beilstein XP002002692 * BRN=193508; 211894 * & JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 57, 1935, DC US, page 902 ---	1
X	DATABASE CROSSFIRE Beilstein XP002002693 * BRN=1129121 * & JOURNAL OF ORGANIC CHEMISTRY, vol. 43, 1978, EASTON US, pages 1975-1980, --- -/--	1

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 95/16096

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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X	DATABASE CROSSFIRE Beilstein XP002002694 * BRN=1241334; 1682456 * & BULL. ACAD. SCI. USSR DIV. CHEM. SCI. (ENGL. TRANSL.), vol. 25, 1976, pages 2069-2071, ---	47
X	DATABASE CROSSFIRE Beilstein XP002002695 * BRN=4800533; 4800630; 4804716 * & JOURNAL OF MEDICINAL CHEMISTRY, vol. 34, no. 11, 1991, WASHINGTON US, pages 3261-3267, ---	47
X	DATABASE CROSSFIRE Beilstein XP002002696 * BRN=424721 * & DE,A,20 15 351 ---	47
X	DATABASE CROSSFIRE Beilstein XP002002697 * BRN=140265 * & US,A,3 907 507 ---	47
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X	DATABASE CROSSFIRE Beilstein XP002002699 * BRN=390257 * & JOURNAL OF MEDICINAL CHEMISTRY, vol. 15, 1972, WASHINGTON US, page 1177 ---	47
X	DATABASE CROSSFIRE Beilstein XP002002700 * BRN=1565891 * & HELVETICA CHIMICA ACTA, vol. 60, 1977, BASEL CH, pages 978-1021, --- -/--	47

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Internatio Application No
PCT/US 95/16096

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CROSSFIRE Beilstein XP002002701 * BRN=269284 * & JOURNAL OF THE AMERICAN CHEMICAL SOCIETY., vol. 66, 1944, DC US, page 1927 -----	47

1

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 95/16096

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
EP-A-0260744	23-03-88	AU-B-	595064	22-03-90
		AU-B-	7838587	14-04-88
		CA-A-	1323366	19-10-93
		DE-A-	3783107	28-01-93
		ES-T-	2053524	01-08-94
		HK-A-	123694	18-11-94
		IE-B-	60514	27-07-94
		JP-A-	1085975	30-03-89
		JP-C-	1875175	26-09-94
		SU-A-	1662350	07-07-91
		US-A-	4859684	22-08-89

PCT

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International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
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A3

(11) International Publication Number: WO 96/19458

(43) International Publication Date: 27 June 1996 (27.06.96)

(21) International Application Number: PCT/US95/16096

(22) International Filing Date: 13 December 1995 (13.12.95)

(30) Priority Data:

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08/464,541	5 June 1995 (05.06.95)	US
08/463,231	5 June 1995 (05.06.95)	US
08/464,546	5 June 1995 (05.06.95)	US
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(81) Designated States: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, LS, MW, SD, SZ, UG).

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(54) Title: STEROID RECEPTOR MODULATOR COMPOUNDS AND METHODS

(57) Abstract

Non-steroidal compounds which are high affinity, high selectivity modulators for steroid receptors are disclosed. Also disclosed are pharmaceutical compositions incorporating such compounds, methods for employing the disclosed compounds and compositions for treating patients requiring steroid receptor agonist or antagonist therapy, intermediates useful in the preparation of the compounds and processes for the preparation of the steroid receptor modulator compounds.

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 95/16096

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D215/06 A61K31/47 C07D417/04 C07D413/04 C07D401/04
C07D221/18 C07D493/04 C07D471/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP,A,0 260 744 (JANSSEN PHARMACEUTICA NV) 23 March 1988 see the whole document ---	1
X	DATABASE CROSSFIRE Beilstein Informationssysteme GmbH, Frankfurt, DE XP002002687 * BRN=880905 * & J. ORG. CHEM. USSR, vol. 9, 1973, pages 2571-2576, ---	1

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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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"&" document member of the same patent family

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Date of the actual completion of the international search 10 May 1996	Date of mailing of the international search report 23.05.96
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Authorized officer De Jong, B

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CROSSFIRE Beilstein XP002002688 * BRN=143474 * & JOURNAL OF ORGANIC CHEMISTRY, vol. 30, 1965, EASTON US, pages 3560-3561, ---	1
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 95/16096

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CROSSFIRE Beilstein XP002002701 * BRN=269284 * & JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 66, 1944, DC US, page 1927 -----	47

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INTERNATIONAL SEARCH REPORT	International application No. PCT/US 95/ 16096

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 21-24,29,45,46 are directed to a method of treatment of (diagnostic method practised on) the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
Claims 1 and 47 are so broad, that a complete search was not possible for economical reasons.

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searches without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

Form PCT/ISA/210 (continuation of first sheet (1)) (July 1992)

INTERNATIONAL SEARCH REPORT

Inf. ..nation on patent family members

Internati. Application No
PCT/US 95/16096

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